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ABSTRACT

Angiogenesis inhibiting 5-substituted-1,2,4-thiadiazolyl derivatives

This invention concerns compounds of formula

them and their use as a medicine.

$$\begin{array}{c|c}
 & R^2 \\
 & R^3 \\
 & R^4
\end{array}$$
(I),

the N-oxide forms, the pharmaceutically acceptable acid addition salts and stereochemically isomeric forms thereof, wherein X is CH or N; R¹ is hydrogen, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, amino, mono- or di(C₁₋₆alkyl)amino, Ar¹, Ar¹NH-, C₃₋₆cycloalkyl, hydroxymethyl or benzyloxymethyl; R² is hydrogen, C₁₋₆alkyl, amino, aminocarbonyl, mono- or di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonyl, C₁₋₆alkylcarbonylamino, hydroxy or C₁₋₆alkyloxy; R³, R⁴ and R⁵ are each independently selected from hydrogen, halo, C₁₋₆alkyl, C₁₋₆alkyloxy, trifluoromethyl, nitro, amino, cyano, azido, C₁₋₆alkyloxyC₁₋₆alkyl, C₁₋₆alkylthio, C₁₋₆alkyloxycarbonyl or Het¹; A is Ar², Ar²CH₂- or Het²; Ar¹ and Ar² optionally substituted phenyl; Het¹ and Het² are optionally substituted monocyclic heterocycles; having angiogenesis inhibiting activity; their preparation, compositions containing